Access DB# _____

SEARCH REQUEST FORM

Scientific and Technical Information Center 60400

Requester's Full Name: BERCH Examiner #: 59193 Date: 12/14/02
Art Unit: 1624 Phone Number 308 4718 Serial Number: 09/916,099
Mail Box and Bldg/Room Location: 4D15 / 4E12 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need. MEA

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Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

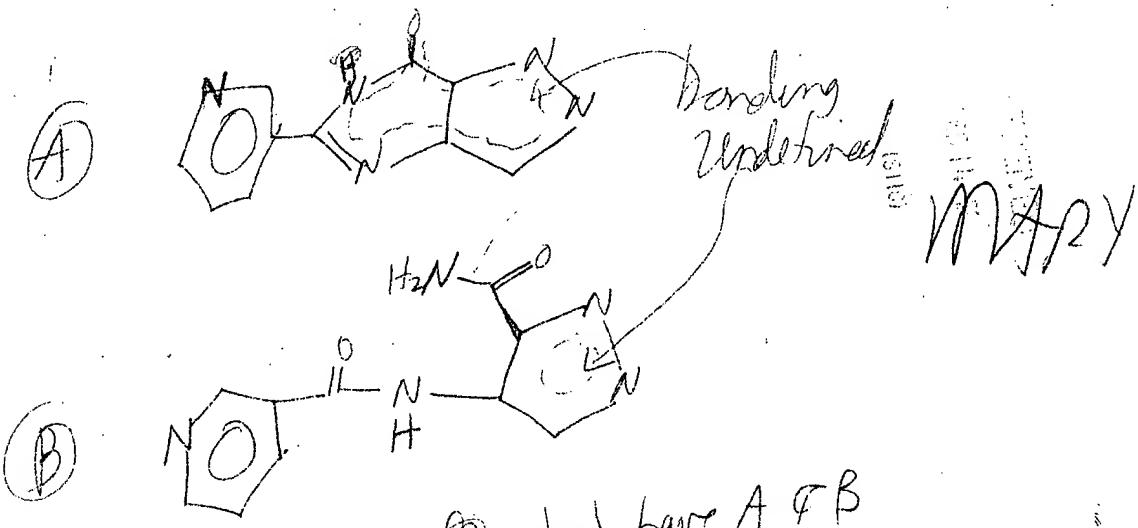
Title of Invention: _____

Inventors (please provide full names): _____

_____

Earliest Priority Filing Date: _____

***For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.**



I need B, bibliog (& atmel have A & B (no structures needed)

Also CAS react B → A 7:44

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STAFF USE ONLY

Searcher: Mary
Searcher Phone #: _____
Searcher Location: _____
Date Searcher Picked Up: _____
Date Completed: 2/15
Searcher Prep & Review Time: _____
Clerical Prep Time: _____
Online Time: _____

Type of Search

NA Sequence (#)	_____
AA Sequence (#)	_____
Structure (#)	4 / 1
Bibliographic	_____
Litigation	_____
Fulltext	_____
Patent Family	_____
Other	_____

Vendors and cost where applicable

STN	480 90
Dialog	_____
Questel/Orbit	_____
Dr.Link	_____
Lexis/Nexis	_____
Sequence Systems	_____
WWW/Internet	_____
Other (specify)	_____

PTO-1590 (1-2000)

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=> d l5 que stat;d l6 que stat;fil medl,caplus,biosis,embase,jicst;s l5 and l6
L1             STR
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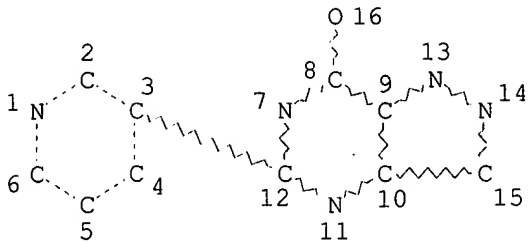

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NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  16

STEREO ATTRIBUTES: NONE
L5          550 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED    577 ITERATIONS                          550 ANSWERS
SEARCH TIME: 00.00.01


L3             STR
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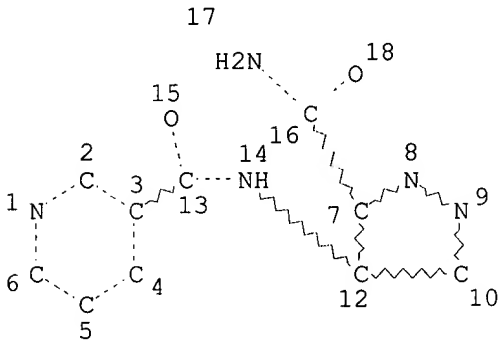

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STEREO ATTRIBUTES: NONE
L6          129 SEA FILE=REGISTRY SSS FUL L3

100.0% PROCESSED    191 ITERATIONS                          129 ANSWERS
SEARCH TIME: 00.00.01
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Searched by: Mary Hale 308-4258 CM-1 12D16

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	281.72	281.87

FILE 'MEDLINE' ENTERED AT 07:40:44 ON 15 FEB 2002

FILE 'CAPLUS' ENTERED AT 07:40:44 ON 15 FEB 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE 'BIOSIS' ENTERED AT 07:40:44 ON 15 FEB 2002

FILE 'EMBASE' ENTERED AT 07:40:44 ON 15 FEB 2002

FILE 'JICST-EPLUS' ENTERED AT 07:40:44 ON 15 FEB 2002

L7	0	FILE MEDLINE
L8	12	FILE CAPLUS
L9	0	FILE BIOSIS
L10	0	FILE EMBASE
L11	0	FILE JICST-EPLUS

TOTAL FOR ALL FILES
L12 12 L5 AND L6

=> d 1-12 cbib abs

L12 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2002 ACS
2001:935606 Document No. 136:53761 Novel process for the preparation of pyrazolopyrimidinones. Bunnage, Mark Edward; Levett, Philip Charles; Thomson, Nicholas Murray (Pfizer Limited, UK; Pfizer Inc.). PCT Int. Appl. WO 2001098303 A1 20011227, 63 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001-IB1038 20010607. PRIORITY: GB 2000-15462 20000622; GB 2001-5878 20010309.
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A process for the prodn. of a compd. of general formula I [A = CH, N; R1 = lower alkyl (optionally interrupted by oxygen), Het, alkylHet, aryl, alkylaryl, etc. (Het = (un)substituted four to twelve-membered heterocyclic group which contains one or more heteroatoms selected from N, O, and S); R2, R4 = independentally lower alkyl; R3 = lower alkyl (optionally interrupted by oxygen)], which process comprises the dehydrogenation of a compd. of general formula II. Thus, 4-{6-ethoxy-5-[3-ethyl-6,7-dihydro-7-oxo-2-(2-pyridylmethyl)-2H-pyrazolo[4,3-b]pyrimidin-5-yl]3-pyridinylsulfonyl}-1-ethylpiperizine (III)

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was produced from 4-{6-ethoxy-5-[3-ethyl-4,5,6,7-tetrahydro-7-oxo-2-(2-pyridylmethyl)-2H-pyrazolo[4,3-b]pyrimidin-5-yl]3-pyridinylsulfonyl}-1-ethylpiperizine (IV) via dehydrogenation in 84% yield.

L12 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2002 ACS

2001:935589 Document No. 136:69817 Process for the preparation of pyrazolopyrimidinones (e.g. Sildenafil) by cyclocondensation of benzimidates with aminopyrazolecarboxamides.. Dunn, Peter James; Dunne, Catherine (Pfizer Limited, UK; Pfizer Inc.). PCT Int. Appl. WO 2001098284 A1 20011227, 68 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001-IB1050 20010611. PRIORITY: GB 2000-15472 20000622; GB 2001-5857 20010309.

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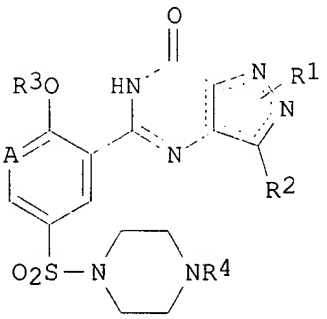

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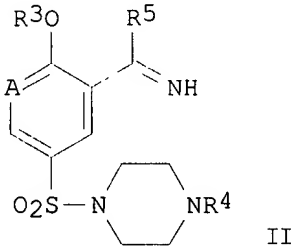

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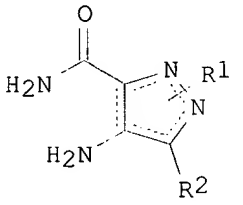

III

AB Title compds. [I; A = CH , N; R1 = H, (substituted) alkyl (optionally interrupted by O), Het, alkylHet, aryl, alkylaryl; R2, R4 = alkyl; R3 = (O-interrupted) alkyl; Het = (substituted) 4- to 12-membered heterocyclyl contg. .gtoreq.1 of N, O, S], were prepd. by reaction of imidates (II; R5 = group substitutable by aminopyrazole; other variables as above) with aminopyrazolecarboxamides (III; variables as above). Thus, Et 2-ethoxy-5-(4-methyl-1-piperazinylsulfonyl)benzimidate (prepn. given), 4-amino-1-methyl-3-propyl-1H-pyrazole-5-carboxamide were refluxed in xylene/EtOAc to give 76% sildenafil.

L12 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2002 ACS

2001:796237 Document No. 135:344497 Synthesis and use of pyrazolo-pyrimidines as estrogen agonists/antagonists for treating female sexual dysfunction. Lee, Andrew George; Thompson, David Duane; Day, Wesley Warren (Pfizer Products Inc., USA). Eur. Pat. Appl. EP 1149579 A2

Searched by: Mary Hale 308-4258 CM-1 12D16

20011031, 47 pp. DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO. (English). CODEN: EPXXDW. APPLICATION: EP 2001-303481 20010412. PRIORITY: US 2000-PV266387 20000418.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = CH2, NR; X, D, E = CH, N; Y = Ph, naphthyl, cycloalk(en)yl, heterocyclyl, etc.; Z1 = alkyl, alkyloxy, alkylamino, etc.; G = amino; R = H, alkyl; n = 0 - 2] were prepd. For example, 4-amino-3-ethyl-1H-pyrazole-5-carboxamide was condensed with 3-carboxy-2-ethoxy-5-(4-ethylpiperazin-1-ylsulfonyl)pyridine (prepn. given, DMF, HOBt, Et3N, EDCI, room temp., 6 h). The pyrazole moiety of the resulting adduct was N-alkylated (DMF, Cs2CO3, Br(CH2)2OMe, 60.degree.C, 18 h) and cyclized to pyrazolo[4,3-d]pyrimidine II (EtOH, EtOAc, KHMDS, 120.degree.C, 12 h). I are estrogen receptor agonists/antagonists and when co-administered with a cyclic 3',5'-guanosine monophosphate elevator, are used to treat (e.g.) hypoactive sexual desire disorder, sexual arousal disorder, etc.

L12 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2002 ACS

2001:615491 Document No. 135:180782 Use of estrogen agonists/antagonists for the treatment of sexual dysfunction. Day, Wesley Warren; Lee, Andrew George; Thompson, David Duane (Pfizer Products Inc., USA). Eur. Pat. Appl. EP 1125582 A2 20010822, 45 pp. DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO. (English). CODEN: EPXXDW. APPLICATION: EP 2001-300061 20010105. PRIORITY: US 2000-PV175704 20000112.

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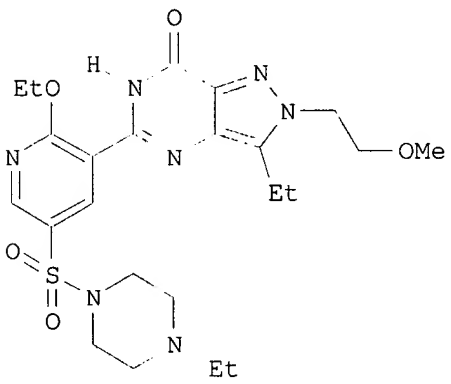

I

AB Pyridinylpyrazolopyrimidinone cGMP PDEv inhibitors, e.g., I were prepd. Data for biol. activity of 3-[1-[4-(2-dimethylaminoethoxy)phenyl]-2-phenyl-1-butenyl]phenol were given.

L12 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2002 ACS

2001:283955 Document No. 134:295836 Preparation of 5-(3-pyridyl)-substituted pyrazolo[4,3-d]pyrimidinones as phosphodiesterase inhibitors. Bunnage, Mark Edward; Devries, Keith Michael; Harris, Laurence James; Levett, Philip Charles; Mathias, John Paul; Negri, Joanna Teresa; Street, Stephen Derek Albert; Wood, Albert Shaw (Pfizer Limited, UK; Pfizer Inc.). PCT

Searched by: Mary Hale 308-4258 CM-1 12D16

Int. Appl. WO 2001027113 A2 20010419, 262 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 2000-IB1457 20001011. PRIORITY: GB 1999-24063 19991011; GB 2000-18656 20000728.

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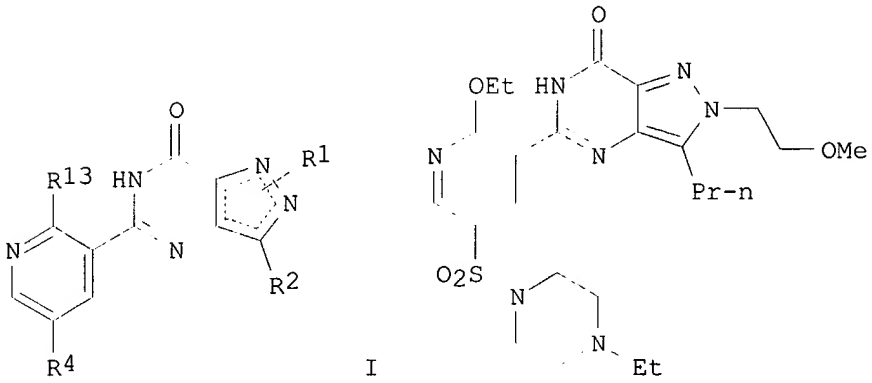

I II

AB The title compds. [I; R1 = alkyl, alkenyl, cycloalkyl, etc.; R2 = alkyl, alkenyl, etc.; R13 = OR3, NR5R6 (wherein R3 = alkyl, cycloalkyl, etc.; R5, R6 = H, alkyl; NR5R6 = azetidino, pyrrolidino, etc.); R4 = substituted at 4-position piperazin-1-ylsulfonyl] and their pharmaceutically or veterinarily acceptable salts which are potent and selective inhibitors of type 5 cyclic guanosine 3',5'-monophosphate phosphodiesterase (cGMP PDE5) and have utility in the treatment of, inter alia, male erectile dysfunction (MED) and female sexual dysfunction (FSD), were prepd. and formulated. E.g., a multi-step synthesis of the pyrazolo[4,3-d]pyrimidinone II which showed IC50 of 2-5 nM against PDE5, was given.

L12 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2002 ACS

2001:283954 Document No. 134:311220 Preparation of pyrazolo[4,3-d]pyrimidin-7-ones as phosphodiesterase inhibitors. Allerton, Charlotte Moira Norfor; Barber, Christopher Gordon; Maw, Graham Nigel; Rawson, David James (Pfizer Limited, UK; Pfizer, Inc.). PCT Int. Appl. WO 2001027112 A1 20010419, 204 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 2000-IB1430 20001004. PRIORITY: GB 1999-24041 19991011; GB 2000-18660 20000728.

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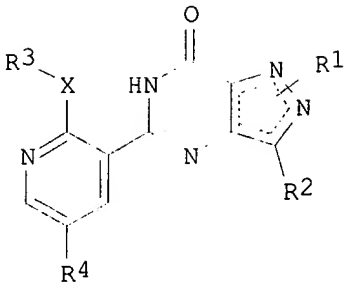
Searched by: Mary Hale 308-4258 CM-1 12D16



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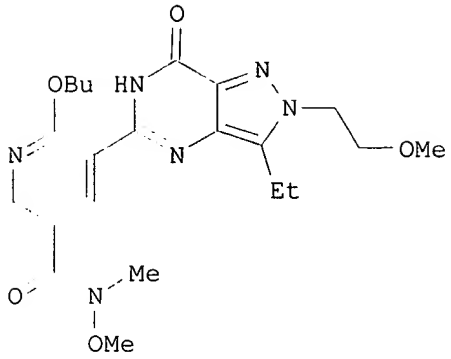

II

AB The title compds. [I; X = O, NR5; R1 = H, alkyl, Het, etc.; R2 = H, halo, CN, etc.; R3 = H, alkyl, alkylHet, etc.; R4 = H, halo, CN, etc.; R5 = H, alkyl], useful in the curative and prophylactic treatment of a medical condition for which inhibition of a cyclic guanosine 3',5'-monophosphate phosphodiesterase (e.g. cGMP PDE5) is desired such as male erectile dysfunction, were prepd. and formulated. E.g., a multi-step synthesis of the pyrazolo[4,3-d]pyrimidin-7-one II which showed IC50 of 8.5 nM against cGMP PDE5, was given. The compds. I were found to have in vitro activities as inhibitors of cGMP PDE5 with IC50 of < about 100 nM.

L12 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2002 ACS
2001:283943 Document No. 134:295824 Preparation of 3-ethyl-5-[5-(4-ethylpiperazin-1-ylsulfonyl)-2-(2-methoxyethoxy)pyridin-3-yl]-2-(pyridin-2-yl)methyl-2,6-dihydro-7H-pyrazolo[4,3-d]pyrimidin-7-one p-toluenesulfonate. Hughes, Michael Leslie; Storey, Richard Anthony (Pfizer Limited, UK; Pfizer Inc.). PCT Int. Appl. WO 2001027101 A2 20010419, 26 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 2000-IB1445 20001006. PRIORITY: GB 1999-23968 19991011.

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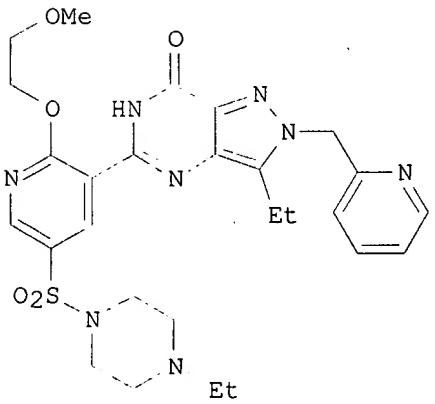

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Searched by: Mary Hale 308-4258 CM-1 12D16

AB The title compd. I.p-TsOH, useful in treating male erectile dysfunction (no data), was prepd. and formulated. Detailed, multi-step synthesis of I.p-TsOH was given.

L12 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2002 ACS
2001:279456 Document No. 134:295832 Process for the preparation of 1-[5-(7-oxo-2H-pyrazolo[4,3-d]pyrimidin-5-yl)-3-pyridylsulphonyl]piperazines. Devries, Keith Michael; Levett, Philip Charles; Negri, Joanna Teresa; Wood, Albert Shaw (Pfizer Limited, UK; Pfizer Inc.). Eur. Pat. Appl. EP 1092720 A2 20010418, 31 pp. DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO. (English). CODEN: EPXXDW. APPLICATION: EP 2000-308915 20001010. PRIORITY: GB 1999-24042 19991011; GB 2000-18667 20000728.
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R = (un)substituted alkyl, cycloalkyl, etc.; R1 = alkyl optionally substituted with Ph, piperidinyl, etc.; R2 = alkyl; NR3R4 = (un)substituted 4-R8-piperazinyl; R8 = H, alkyl, etc.], were prepd. by reacting a compd. II, III or IV [X = a leaving group] in the presence of -OR and a hydroxide trapping agent or in the case of compds. IV reacting in the presence of an auxiliary base and a hydroxide trapping agent (i.e. -OR is substituted by the auxiliary base). E.g., a multi-step synthesis of the pyrazolo[4,3-d]pyrimidinone V was given.

L12 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2002 ACS
2000:291043 Document No. 132:308353 Preparation of pyrazolopyrimidinones as cGMP phosphodiesterase inhibitors. Bunnage, Mark Edward; Maw, Graham Nigel; Rawson, David James; Wood, Anthony; Mathias, John Paul; Street, Stephen Derek Albert (Pfizer Limited, UK; Pfizer Inc.). PCT Int. Appl. WO 2000024745 A1 20000504, 197 pp. DESIGNATED STATES: W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1999-IB1706 19991019. PRIORITY: GB 1998-23102 19981023; GB 1998-23101 19981023.
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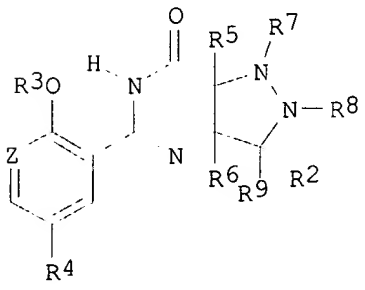

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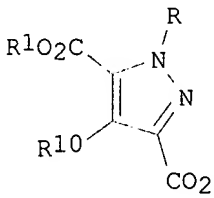


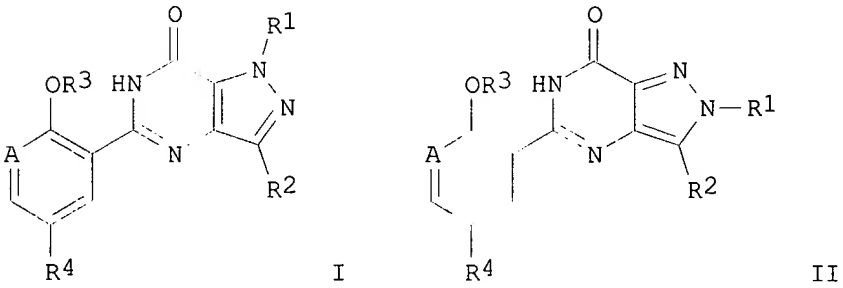
II

Searched by: Mary Hale 308-4258 CM-1 12D16

AB Title compds. [I; R2 = CONH2, CO2H, alkoxycarbonyl, (acyl)amino, etc.; R3 = H or (un)substituted alkyl; R4 = SO2NR14R15; R5R6 and R8R9 = bond and R7 = H, alkyl, heterocyclyl, aryl, etc.; R5R7 and R6R9 = bond and R8 = H, alkyl, heterocyclyl, aryl, etc.; NR14R15 = heterocyclyl; Z = CH or N] were prepd. for treatment of sexual dysfunction. Thus, pyrazole-3,5-dicarboxylic acid was nitrated and the product esterified to give pyrazolecarboxylate II (R = H, R1 = Me, R10 = NO2) which was N-alkylated by 2-chloromethylpyridine and the reduced product amidated by 2-(PrO)C6H4COCl to give II [R = 2-pyridylmethyl, R1 = Me, R10 = NHCOC6H4(OPr)-2]. The latter was heated with NH3 at 100.degree. to give I (R2 = CONH2, R3 = Pr, R5R6,R8R9 = bond, R7 = 2-pyridylmethyl)(III; R4 = H) which was converted to III (R4 = 4-methyl-1-pyrazinylsulfonyl). Data for biol. activity of I were given.

L12 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2002 ACS
2000:277700 Document No. 132:293774 Preparation of pyrazolopyrimidinones as cGMP PDE5 inhibitors for the treatment of sexual dysfunction. Wood, Anthony (Pfizer Inc., USA; Pfizer Limited). Eur. Pat. Appl. EP 995750 A1 20000426, 45 pp. DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO. (English). CODEN: EPXXDW. APPLICATION: EP 1999-308156 19991015. PRIORITY: GB 1998-23101 19981023.

GI



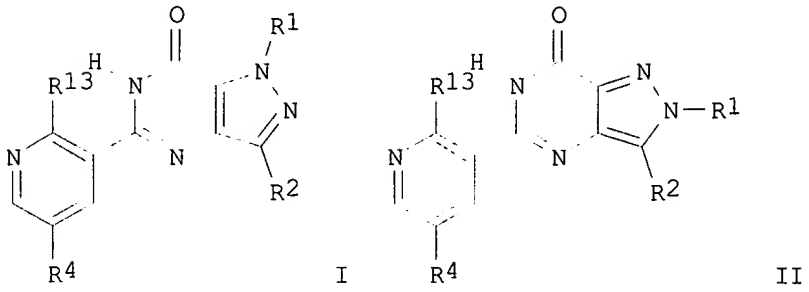
AB The title compds. [I or II; A = CH, N; R1 = (un)substituted Het1, alkylHet1, aryl, etc.; R2, R3 = H, (un)substituted alkyl; R4 = SO2NR12R13; R12, R13 = H, (un)substituted alkyl, Het1, etc.; Het1 = 4-12 membered heterocyclic group contg. at least one N atom and, optionally, one or more heteroatoms selected from N, O and S], useful in the curative and prophylactic treatment of a medical condition for which inhibition of a cyclic guanosine 3',5'-monophosphate phosphodiesterase (e.g. cGMP PDE5) is desired, were prepd. E.g., a multi-step synthesis of II [A = CH; R1 = 2-pyridylmethyl; R2, R3 = Pr; R4 = SO2NMe2] was given. Compds. I and II were found to have in vitro activities as inhibitors of cGMP PDE5 with IC50 of < 100 nM.

L12 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2002 ACS
1999:691100 Document No. 131:310644 Preparation of pyrazolopyrimidinone cGMP PDE5 inhibitors for the treatment of sexual dysfunction. Bunnage, Mark Edward; Mathias, John Paul; Street, Stephen Derek Albert; Wood, Anthony (Pfizer Inc., USA; Pfizer Limited). PCT Int. Appl. WO 9954333 A1 19991028, 221 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA,

Searched by: Mary Hale 308-4258 CM-1 12D16

GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1999-IB519 19990325. PRIORITY: GB 1998-8315 19980420; GB 1998-14187 19980630.

GI



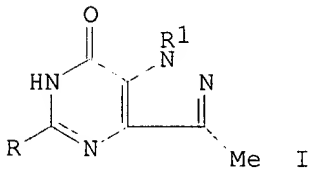
I II

AB The title compds. [I or II; R1 = alkyl optionally substituted with (un)substituted Ph, Het or a N-linked heterocyclic group selected from piperidinyl and morpholinyl; R2 = alkyl; R13 = OR3, NR5R6; R3 = alkyl, cycloalkyl, tetrahydrofuranyl, etc.; R4 = SO2NR7R8; R5, R6 = H, alkyl; NR5R6 = pyrrolidino, piperidino, etc.; NR7R8 = 4-(un)substituted piperazinyl, etc.], potent and selective inhibitors of type 5 cyclic guanosine 3',5'-monophosphate phosphodiesterase (cGMP PDE5) which have utility in the treatment of male erectile dysfunction (MED) and female sexual dysfunction (FSD), were prepd. Thus, treatment of 4-[2-(2-ethoxyethoxy)-5-(4-ethylpiperazin-1-ylsulfonyl)pyridin-3-ylcarboxamido]-3-n-propyl-2-(pyridin-2-yl)methylpyrazole-5-carboxamide with tBuOK in 3-methylpentan-3-ol afforded 12% II [R1 = (pyridin-2-yl)methyl; R2 = Pr; R13 = 2-ethoxyethoxy; R4 = 4-ethylpiperazin-1-ylsulfonyl] which showed IC50 of 10.1 nM against cGMP PDE5.

L12 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2002 ACS

1987:50155 Document No. 106:50155 Synthesis and structure-activity relationships of pyrazolo[4,3-d]pyrimidin-7-ones as adenosine receptor antagonists. Hamilton, Harriet W.; Ortwine, Daniel F.; Worth, Donald F.; Bristol, James A. (Dep. Chem., Warner-Lambert/Parke-Davis Pharm. Res., Ann Arbor, MI, 48105, USA). J. Med. Chem., 30(1), 91-6 (English) 1987. CODEN: JMCMAR. ISSN: 0022-2623. OTHER SOURCES: CASREACT 106:50155.

GI



I

AB A series of 21 1,3-dialkylpyrazolo[4,3-d]pyrimidin-7-ones, e.g., I (R = 2-MeOC6H4, R1 = Me), substituted in the 5-position with various Ph substituents, was prepd. and found to have affinity for the adenosine A1 receptor. The potency pattern due to substituents on the Ph ring was parallel that found in a previously reported (1985) 1,3-dialkyl-8-phenylxanthine series. A quant. structure-activity relationship was developed between these two series that correctly predicted the potencies of six addnl. I. Using the correlation as a guide, I (R =

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4-Me2NCH2CH2NSO2C6H4, R1 = Me), having improved aq. soly., was prepd. It is hypothesized that I and analogously substituted xanthines fit the adenosine receptor in an analogous fashion.

=> fil casrea

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	84.71	366.58

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-7.43	-7.43

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FILE CONTENT:1974 - 10 Feb 2002 VOL 136 ISS 6

Some records from 1974 to 1991 are derived from the ZIC/VINITI data file and provided by InfoChem.

This file contains CAS Registry Numbers for easy and accurate substance identification.

Crossover limits have been increased. See HELP RNCROSSOVER for details.

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

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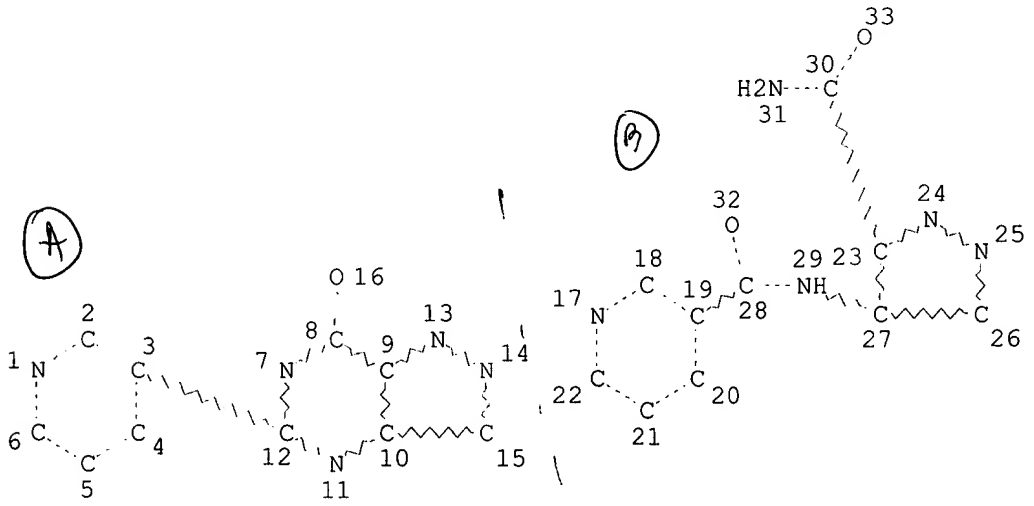
L13 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

Searched by: Mary Hale 308-4258 CM-1 12D16

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 33

STEREO ATTRIBUTES: NONE
L15 5 SEA FILE=CASREACT SSS FUL L13 (9 REACTIONS)

100.0% DONE 9 VERIFIED 9 HIT RXNS 5 DOCS
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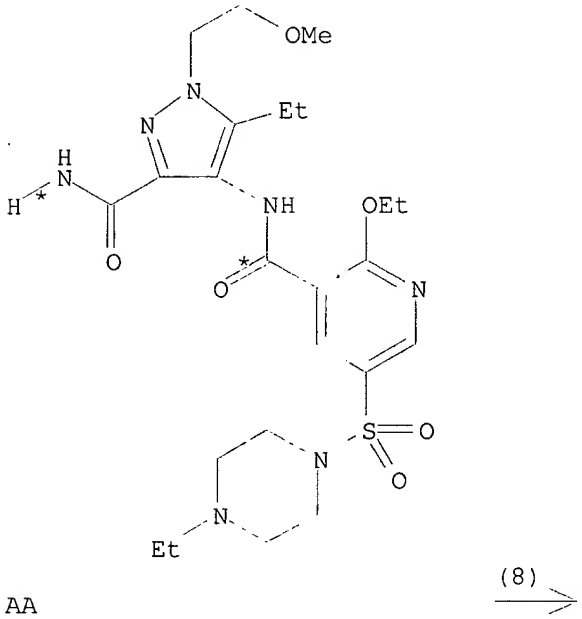
L15 ANSWER 1 OF 5 CASREACT COPYRIGHT 2002 ACS

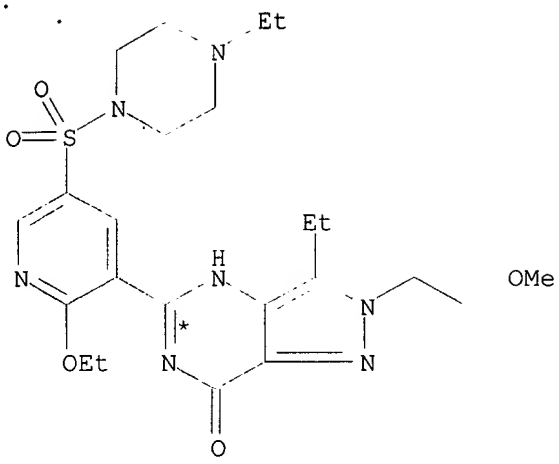
RX(8) OF 140 ...**AA** ===> **AB**



(8)

AA

Searched by: Mary Hale 308-4258 CM-1 12D16



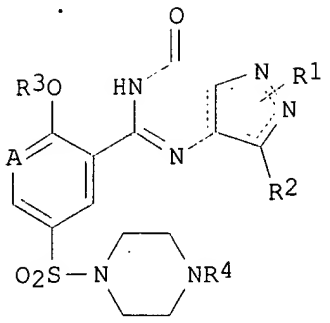
AB

RX(8) RCT AA **334828-19-2**
RGT AC 40949-94-8 K [N(SiMe3)2]
PRO AB **334826-98-1**
SOL 141-78-6 AcOEt, 64-17-5 EtOH

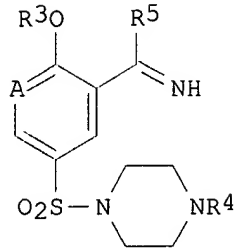
136:69817 Process for the preparation of pyrazolopyrimidinones (e.g. Sildenafil) by cyclocondensation of benzimidates with aminopyrazolecarboxamides.. Dunn, Peter James; Dunne, Catherine (Pfizer Limited, UK; Pfizer Inc.). PCT Int. Appl. WO 2001098284 A1 20011227, 68 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001-IB1050 20010611. PRIORITY: GB 2000-15472 20000622; GB 2001-5857 20010309.

GI

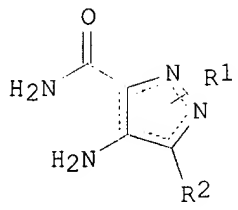
Searched by: Mary Hale 308-4258 CM-1 12D16



I



II

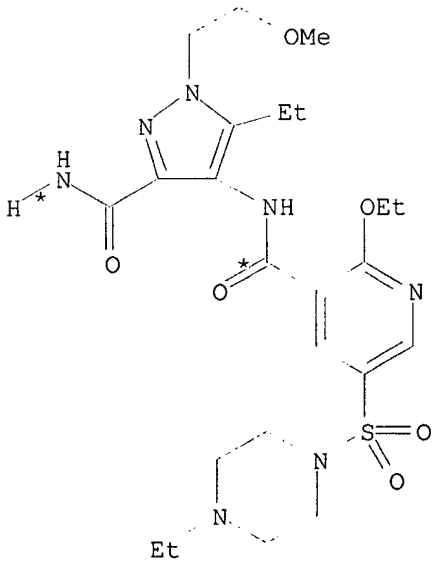


III

AB Title compds. [I; A = CH , N; R1 = H, (substituted) alkyl (optionally interrupted by O), Het, alkylHet, aryl, alkylaryl; R2, R4 = alkyl; R3 = (O-interrupted) alkyl; Het = (substituted) 4- to 12-membered heterocyclyl contg. .gtoreq.1 of N, O, S], were prepd. by reaction of imidates (II; R5 = group substitutable by aminopyrazole; other variables as above) with aminopyrazolecarboxamides (III; variables as above). Thus, Et 2-ethoxy-5-(4-methyl-1-piperazinylsulfonyl)benzimidate (prepn. given), 4-amino-1-methyl-3-propyl-1H-pyrazole-5-carboxamide were refluxed in xylene/EtOAc to give 76% sildenafil.

L15 ANSWER 2 OF 5 CASREACT COPYRIGHT 2002 ACS

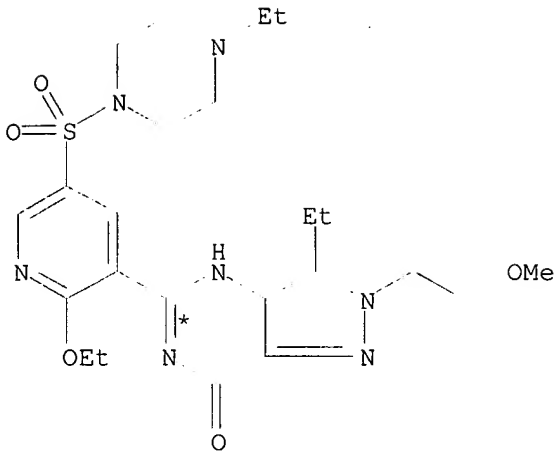
RX(12) OF 73 ...**AJ** ===> **AK**



AJ

(12)

Searched by: Mary Hale 308-4258 CM-1 12D16



AK

RX(12) RCT AJ **334828-19-2**
RGT AL 40949-94-8 K [N(SiMe3)2]
PRO AK **334826-98-1**
SOL 141-78-6 AcOEt, 64-17-5 EtOH

136:53761 Novel process for the preparation of pyrazolopyrimidinones. Bunnage, Mark Edward; Levett, Philip Charles; Thomson, Nicholas Murray (Pfizer Limited, UK; Pfizer Inc.). PCT Int. Appl. WO 2001098303 A1 20011227, 63 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001-IB1038 20010607. PRIORITY: GB 2000-15462 20000622; GB 2001-5878 20010309.

GI

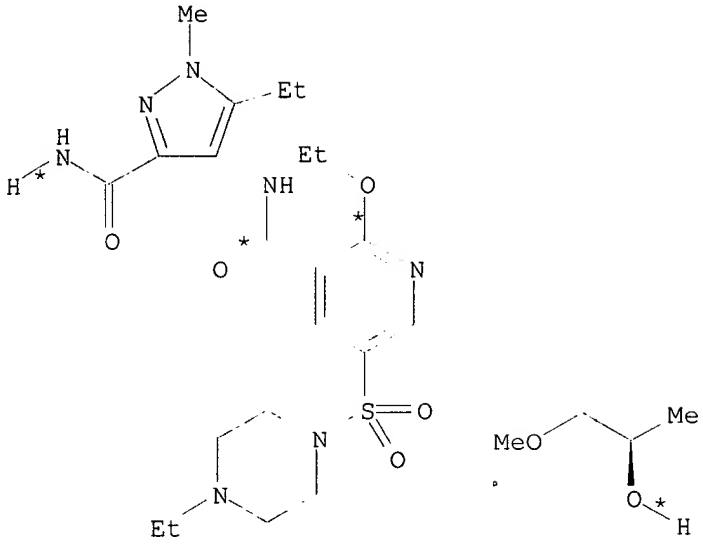
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A process for the prodn. of a compd. of general formula I [A = CH, N; R1 = lower alkyl (optionally interrupted by oxygen), Het, alkylHet, aryl, alkylaryl, etc. (Het = (un)substituted four to twelve-membered heterocyclic group which contains one or more heteroatoms selected from N, O, and S); R2, R4 = independentally lower alkyl; R3 = lower alkyl (optionally interrupted by oxygen)], which process comprises the dehydrogenation of a compd. of general formula II. Thus, 4-{6-ethoxy-5-[3-ethyl-6,7-dihydro-7-oxo-2-(2-pyridylmethyl)-2H-pyrazolo[4,3-b]pyrimidin-5-yl]3-pyridinylsulfonyl}-1-ethylpiperizine (III) was produced from 4-{6-ethoxy-5-[3-ethyl-4,5,6,7-tetrahydro-7-oxo-2-(2-pyridylmethyl)-2H-pyrazolo[4,3-b]pyrimidin-5-yl]3-pyridinylsulfonyl}-1-ethylpiperizine (IV) via dehydrogenation in 84% yield.

L15 ANSWER 3 OF 5 CASREACT COPYRIGHT 2002 ACS

Searched by: Mary Hale 308-4258 CM-1 12D16

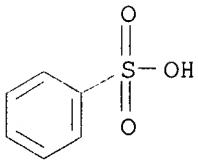
RX(1) OF 302 ...**A** + B ===> **C**



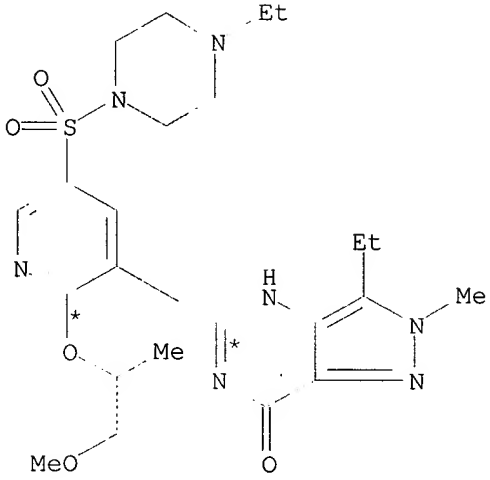
A

B

(1)



C: CM 1
YIELD 79%



C: CM 2
YIELD 79%

RX(1) RCT A **247583-94-4**, B 4984-22-9

STAGE(1)

STAGE(2)
RGT D 865-47-4 t-BuOK

STAGE(3)
RGT E 3938-95-2 Propanoic acid, 2,2-dimethyl-, ethyl ester

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STAGE(4)
SOL 75-09-2 CH2Cl2

STAGE(5)
RGT F 7647-01-0 HCl
SOL 7732-18-5 Water

STAGE(6)
SOL 78-93-3 EtCOMe

STAGE(7)
RGT G 98-11-3 PhSO3H
SOL 78-93-3 EtCOMe
PRO C **334708-04-2**

134:295832 Process for the preparation of 1-[5-(7-oxo-2H-pyrazolo[4,3-d]pyrimidin-5-yl)-3-pyridylsulphonyl]piperazines. Devries, Keith Michael; Levett, Philip Charles; Negri, Joanna Teresa; Wood, Albert Shaw (Pfizer Limited, UK; Pfizer Inc.). Eur. Pat. Appl. EP 1092720 A2 20010418, 31 pp. DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO. (English). CODEN: EPXXDW. APPLICATION: EP 2000-308915 20001010. PRIORITY: GB 1999-24042 19991011; GB 2000-18667 20000728.

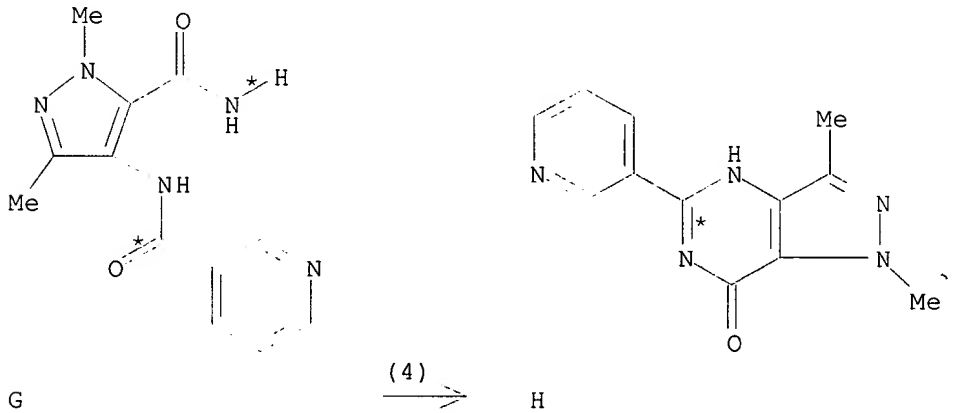
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R = (un)substituted alkyl, cycloalkyl, etc.; R1 = alkyl optionally substituted with Ph, piperidinyl, etc.; R2 = alkyl; NR3R4 = (un)substituted 4-R8-piperazinyl; R8 = H, alkyl, etc.], were prepd. by reacting a compd. II, III or IV [X = a leaving group] in the presence of -OR and a hydroxide trapping agent or in the case of compds. IV reacting in the presence of an auxiliary base and a hydroxide trapping agent (i.e. -OR is substituted by the auxiliary base). E.g., a multi-step synthesis of the pyrazolo[4,3-d]pyrimidinone V was given.

L15 ANSWER 4 OF 5 CASREACT COPYRIGHT 2002 ACS

RX(4) OF 12 **G** ===> **H**



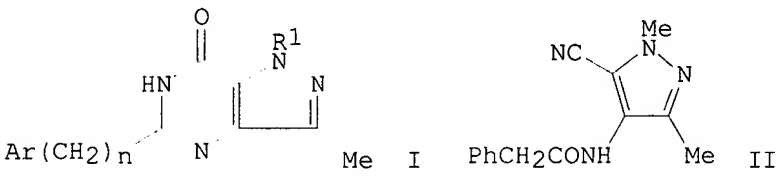
G H

Searched by: Mary Hale 308-4258 CM-1 12D16

RX(4) RCT G **104393-47-7**
PRO H **104393-22-8**

106:101967 5-Substituted pyrazolo[4,3-d]pyrimidin-7-ones. (Warner-Lambert Co., USA). Jpn. Kokai Tokkyo Koho JP 61236778 A2 19861022 Showa, 18 pp. (Japanese). CODEN: JKXXAF. APPLICATION: JP 1986-76818 19860404. PRIORITY: US 1985-720437 19850405.

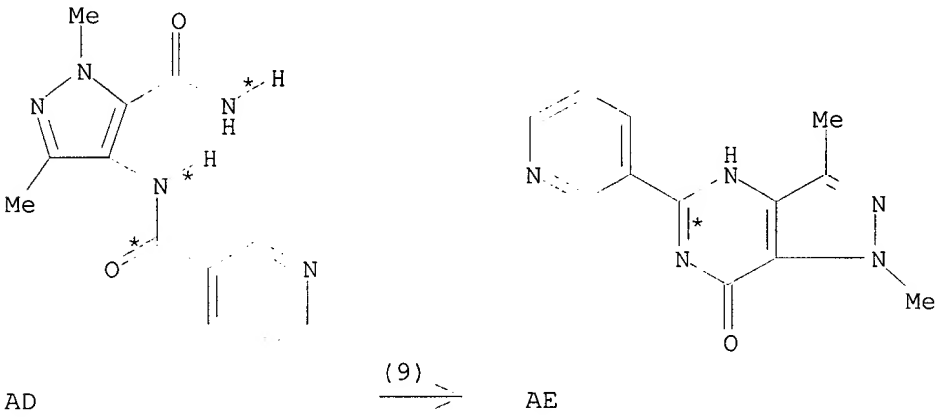
GI



AB The title compds. [I; R1 = (substituted) alkyl, alkenyl; Ar = (substituted) phenyl; n = 0-4], useful as central nervous system stimulants, bronchodilators, and cardiotonics, were prepd. Thus, a mixt. of aq. NaOH, H2O2, and pyrazole deriv. II was heated at 80.degree. for 4.5 h to give I (R1 = Me, Ar = Ph, n = 1). I showed affinity for adenosine receptors at 13,100 nM and inhibition of phosphodiesterase at 10-5 M.

L15 ANSWER 5 OF 5 CASREACT COPYRIGHT 2002 ACS

RX(9) OF 84 **AD** ===> **AE**

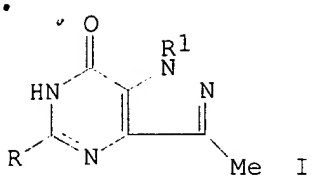


RX(9) RCT AD **104393-47-7**
RGT AB 7664-38-2 H3PO4, AC 1314-56-3 P2O5
PRO AE **104393-22-8**

106:50155 Synthesis and structure-activity relationships of pyrazolo[4,3-d]pyrimidin-7-ones as adenosine receptor antagonists. Hamilton, Harriet W.; Ortwine, Daniel F.; Worth, Donald F.; Bristol, James A. (Dep. Chem., Warner-Lambert/Parke-Davis Pharm. Res., Ann Arbor, MI, 48105, USA). J. Med. Chem., 30(1), 91-6 (English) 1987. CODEN: JMCMAR. ISSN: 0022-2623.

GI

Searched by: Mary Hale 308-4258 CM-1 12D16



I

AB A series of 21 1,3-dialkylpyrazolo[4,3-d]pyrimidin-7-ones, e.g., I (R = 2-MeOC6H4, R1 = Me), substituted in the 5-position with various Ph substituents, was prepd. and found to have affinity for the adenosine A1 receptor. The potency pattern due to substituents on the Ph ring was parallel that found in a previously reported (1985) 1,3-dialkyl-8-phenylxanthine series. A quant. structure-activity relationship was developed between these two series that correctly predicted the potencies of six addnl. I. Using the correlation as a guide, I (R = 4-Me2NCH2CH2NSO2C6H4, R1 = Me), having improved aq. soly., was prepd. It is hypothesized that I and analogously substituted xanthines fit the adenosine receptor in an analogous fashion.

=> fil caol;dis his

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	114.00	480.58
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.95	-10.38

FILE 'CAOLD' ENTERED AT 07:44:06 ON 15 FEB 2002

FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

(FILE 'HOME' ENTERED AT 07:36:57 ON 15 FEB 2002)

FILE 'REGISTRY' ENTERED AT 07:37:02 ON 15 FEB 2002

L1		STR	
L2	29	S	L1
L3		STR	
L4	6	S	L3
L5	550	S	L1 FUL
L6	129	S	L3 FUL

Searched by: Mary Hale 308-4258 CM-1 12D16

FILE 'MEDLINE, CAPLUS, BIOSIS, EMBASE, JICST-EPLUS' ENTERED AT 07:40:44
ON 15 FEB 2002

L7 0 FILE MEDLINE
L8 12 FILE CAPLUS
L9 0 FILE BIOSIS
L10 0 FILE EMBASE
L11 0 FILE JICST-EPLUS
TOTAL FOR ALL FILES
L12 12 S L5 AND L6

FILE 'CASREACT' ENTERED AT 07:41:13 ON 15 FEB 2002
L13 STR L1
L14 1 S L13
L15 5 S L13 FUL

FILE 'CAOLD' ENTERED AT 07:44:06 ON 15 FEB 2002

=> s l5 and l6
0 L5
0 L6
L16 0 L5 AND L6

=> del his y